

=> d his ful

(FILE 'HOME' ENTERED AT 12:57:36 ON 27 SEP 2005)

FILE 'REGISTRY' ENTERED AT 12:57:42 ON 27 SEP 2005

L1               STRUCTURE UPLOADED  
L2               STRUCTURE UPLOADED  
L3               2 SEA SSS SAM L2  
L4               34 SEA SSS FUL L2  
L5               34 SEA SUB=L4 SSS FUL L1

FILE 'HCAPLUS, CASREACT, USPATFULL, TOXCENTER, BEILSTEIN' ENTERED AT  
12:59:52 ON 27 SEP 2005

L6               16 SEA PLU=ON L4  
L7               16 SEA PLU=ON L5  
L8               16 SEA PLU=ON L6 OR L7  
L9               13 DUP REM L8 (3 DUPLICATES REMOVED)  
                  ANSWERS '1-12' FROM FILE HCAPLUS  
                  ANSWER '13' FROM FILE USPATFULL

FILE 'HCAPLUS, USPATFULL' ENTERED AT 13:00:47 ON 27 SEP 2005

L10              13 SEA PLU=ON L9  
L11              11 SEA PLU=ON L10 AND (PD<20030116 OR PRD<20030116)  
L\*\*\* DEL        0 L11 AND PRD=01182002  
L12              2 SEA PLU=ON L11 AND PRD=20020118  
L13              9 SEA PLU=ON L11 NOT L12  
                  D L13 1-9 IBIB HITSTR

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6  
DICTIONARY FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

FILE HCAPLUS  
 FILE COVERS 1907 - 27 Sep 2005 VOL 143 ISS 14  
 FILE LAST UPDATED: 26 Sep 2005 (20050926/ED)

FILE CASREACT  
 FILE CONTENT:1840 - 25 Sep 2005 VOL 143 ISS 13

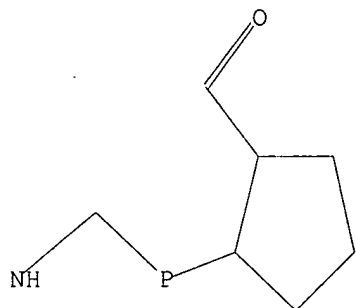
FILE USPATFULL  
 FILE COVERS 1971 TO PATENT PUBLICATION DATE: 22 Sep 2005 (20050922/PD)  
 FILE LAST UPDATED: 22 Sep 2005 (20050922/ED)  
 CA INDEXING IS CURRENT THROUGH 22 Sep 2005 (20050922/UPCA)  
 ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 22 Sep 2005 (20050922/PD)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005

FILE TOXCENTER  
 FILE COVERS 1907 TO 27 Sep 2005 (20050927/ED)

FILE BEILSTEIN  
 FILE RELOADED ON OCTOBER 20, 2002  
 FILE LAST UPDATED ON JUNE 29, 2005  
 FILE COVERS 1771 TO 2005.

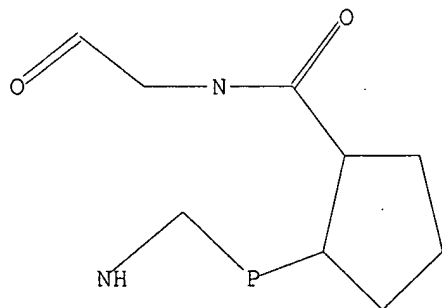
=> d que sta

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L2 STR



Structure attributes must be viewed using STN Express query preparation.

L4 34 SEA FILE=REGISTRY SSS FUL L2  
 L5 34 SEA FILE=REGISTRY SUB=L4 SSS FUL L1  
 L6 16 SEA L4  
 L7 16 SEA L5  
 L8 16 SEA L6 OR L7

L9 13 DUP REM L8 (3 DUPLICATES REMOVED)  
L10 13 SEA L9  
L11 11 SEA L10 AND (PD<20030116 OR PRD<20030116)  
L12 2 SEA L11 AND PRD=20020118  
L13 9 SEA L11 NOT L12

=> d his ful

(FILE 'HOME' ENTERED AT 12:26:17 ON 27 SEP 2005)

FILE 'REGISTRY' ENTERED AT 12:26:39 ON 27 SEP 2005

L1 STRUCTURE UPLOADED  
D QUE

L2 1 SEA SSS SAM L1

L3 15 SEA SSS FUL L1

FILE 'HCAPLUS, USPATFULL, TOXCENTER, BEILSTEIN' ENTERED AT 12:28:21 ON 27 SEP 2005

L4 6 SEA PLU=ON L3

L5 6 DUP REM L4 (0 DUPLICATES REMOVED)  
ANSWERS '1-5' FROM FILE HCAPLUS  
ANSWER '6' FROM FILE USPATFULL

FILE 'REGISTRY' ENTERED AT 12:28:49 ON 27 SEP 2005

L6 SEL PLU=ON L3 1- CHEM : 16 TERMS

FILE 'HCAPLUS, USPATFULL, TOXCENTER, BEILSTEIN' ENTERED AT 12:28:51 ON 27 SEP 2005

L7 5 SEA PLU=ON L6

L8 5 DUP REM L7 (0 DUPLICATES REMOVED)  
ANSWERS '1-5' FROM FILE HCAPLUS

L9 6 SEA PLU=ON L5 OR L8  
E L5

L10 6 DUP REM L9 (0 DUPLICATES REMOVED)  
ANSWERS '1-5' FROM FILE HCAPLUS  
ANSWER '6' FROM FILE USPATFULL  
D L10 1-6 IBIB HITSTR

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6

DICTIONARY FILE UPDATES: 26 SEP 2005 HIGHEST RN 863963-04-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer

to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

FILE HCAPLUS

FILE COVERS 1907 - 27 Sep 2005 VOL 143 ISS 14

FILE LAST UPDATED: 26 Sep 2005 (20050926/ED)

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 22 Sep 2005 (20050922/PD)

FILE LAST UPDATED: 22 Sep 2005 (20050922/ED)

CA INDEXING IS CURRENT THROUGH 22 Sep 2005 (20050922/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 22 Sep 2005 (20050922/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2005

FILE TOXCENTER

FILE COVERS 1907 TO 27 Sep 2005 (20050927/ED)

FILE BEILSTEIN

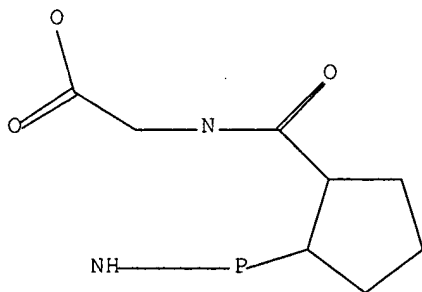
FILE RELOADED ON OCTOBER 20, 2002

FILE LAST UPDATED ON JUNE 29, 2005

FILE COVERS 1771 TO 2005.

=> d que sta

L1 STR



Structure attributes must be viewed using STN Express query preparation.

|     |                                     |
|-----|-------------------------------------|
| L3  | 15 SEA FILE=REGISTRY SSS FUL L1     |
| L4  | 6 SEA L3                            |
| L5  | 6 DUP REM L4 (0 DUPLICATES REMOVED) |
| L6  | SEL PLU=ON L3 1- CHEM : 16 TERMS    |
| L7  | 5 SEA L6                            |
| L8  | 5 DUP REM L7 (0 DUPLICATES REMOVED) |
| L9  | 6 SEA L5 OR L8                      |
| L10 | 6 DUP REM L9 (0 DUPLICATES REMOVED) |

=> d 110 1-6 ibib hitstr

L10 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:445915 HCAPLUS Full-text

DOCUMENT NUMBER: 141:116450

TITLE: Structural Determinants of RXPA380, a Potent and Highly Selective Inhibitor of the Angiotensin-Converting Enzyme C-Domain

AUTHOR(S): Georgiadis, Dimitris; Cuniasse, Philippe; Cotton, Joeel; Yiotakis, Athanasios; Dive, Vincent

CORPORATE SOURCE: Departement d'Ingenerie et d'Etudes des Proteines, CEA, Gif sur Yvette, 91191, Fr.

SOURCE: Biochemistry (2004), 43(25), 8048-8054

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 564479-79-4P 564479-80-7P 564479-81-8P

564479-83-0P 564479-84-1P 724750-81-6P

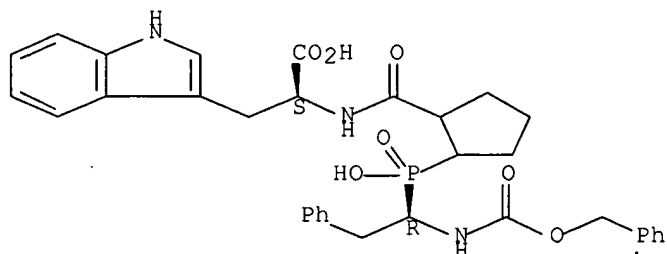
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of RXPA380 analogs, as potent and highly selective inhibitors of angiotensin-converting enzyme C-domain)

RN 564479-79-4 HCAPLUS

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

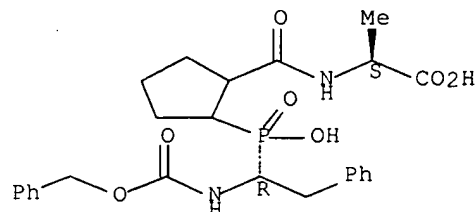
Absolute stereochemistry.



RN 564479-80-7 HCAPLUS

CN L-Alanine, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

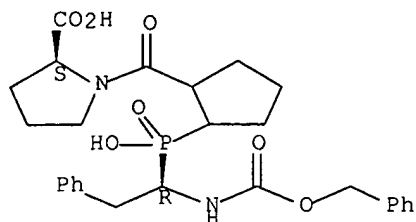
Absolute stereochemistry.



RN 564479-81-8 HCAPLUS

CN L-Proline, 1-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

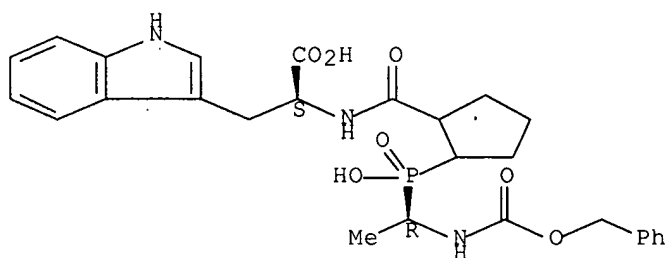
Absolute stereochemistry.



RN 564479-83-0 HCAPLUS

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

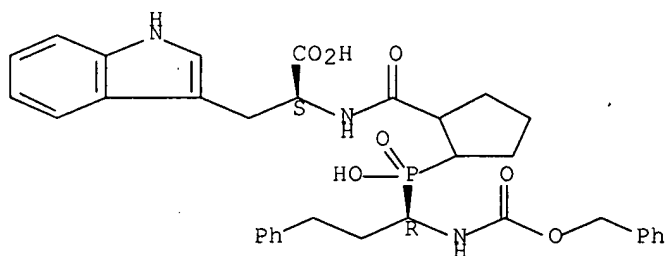
Absolute stereochemistry.



RN 564479-84-1 HCAPLUS

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-3-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]propyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

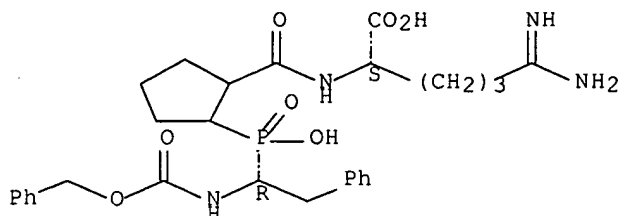
Absolute stereochemistry.



RN 724750-81-6 HCAPLUS

CN L-Lysine, N2-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-6-imino- (9CI) (CA INDEX NAME)

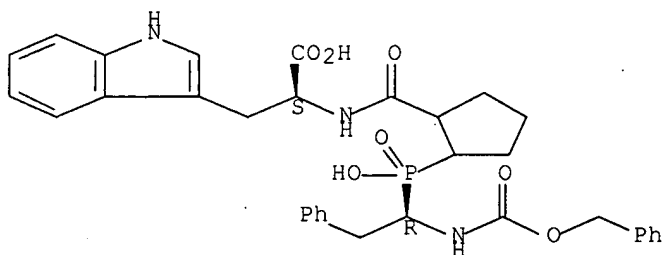
Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:1121942 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:169619  
 TITLE: Selective Angiotensin-Converting Enzyme C-Domain Inhibition Is Sufficient to Prevent Angiotensin I-Induced Vasoconstriction  
 AUTHOR(S): van Esch, Joep H. M.; Tom, Beril; Dive, Vincent; Batenburg, Wendy W.; Georgiadis, Dimitris; Yiotakis, Athanasios; van Gool, Jeanette M. G.; de Bruijn, Rene J. A.; de Vries, Rene; Danser, A. H. Jan  
 CORPORATE SOURCE: Department of Pharmacology and Internal Medicine, Erasmus MC, Rotterdam, Neth.  
 SOURCE: Hypertension (2004), Volume Date 2005, 45(1), 120-125  
 CODEN: HPRTDN; ISSN: 0194-911X  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 564479-79-4, RXPA 380  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (selective angiotensin-converting enzyme C-domain inhibition is sufficient to prevent angiotensin I-induced vasoconstriction)  
 RN 564479-79-4 HCAPLUS  
 CN L-Tryptophan, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:573238 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:117690  
 TITLE: Preparation of phosphinic pseudo-peptide derivatives which selectively inhibit the C-terminal active site of angiotensin-converting enzyme (ACE)



INVENTOR(S): Cotton, Joel; Georgiadis, Dimitri; Dive, Vincent  
 PATENT ASSIGNEE(S): Commissariat A L'energie Atomique, Fr.  
 SOURCE: Fr. Demande, 48 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| FR 2834989  | A1   | 20030725 | FR 2002-599     | 20020118 |
| FR 2834989  | B1   | 20050520 |                 |          |
| CA 2473047  | AA   | 20030731 | CA 2003-2473047 | 20030116 |
| WO 2003062247   | A2   | 20030731 | WO 2003-FR129   | 20030116 |
| WO 2003062247   | A3   | 20040311 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| EP 1468003  | A2   | 20041020 | EP 2003-717341  | 20030116 |
| EP 1468003  | B1   | 20050824 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |      |          |                 |          |
| JP 2005522420   | T2   | 20050728 | JP 2003-562124  | 20030116 |
| US 2005070505   | A1   | 20050331 | US 2004-500891  | 20040707 |
| PRIORITY APPLN. INFO.: FR 2002-599 A 20020118   |      |          |                 |          |
| WO 2003-FR129 W 20030116  |      |          |                 |          |

OTHER SOURCE(S): MARPAT 139:117690

IT 564479-79-4P 564479-80-7P 564479-81-8P  
 564479-82-9P 564479-83-0P 564479-84-1P

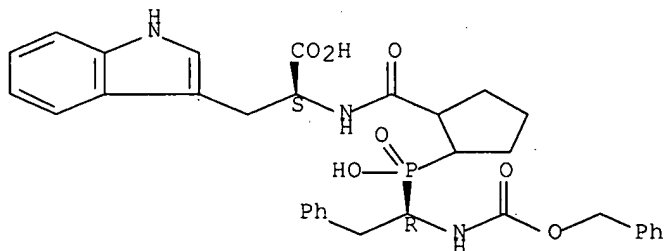
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phosphinic pseudo-peptide derivs. as inhibitors of the C-terminal active site of angiotensin-converting enzyme (ACE))

RN 564479-79-4 HCAPLUS

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy) carbonyl] amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

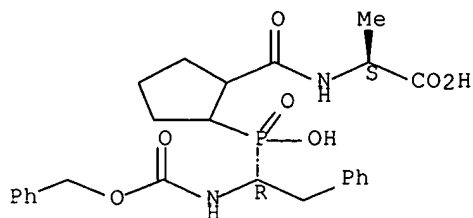


RN 564479-80-7 HCAPLUS

CN L-Alanine, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy) carbonyl] amino]

ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

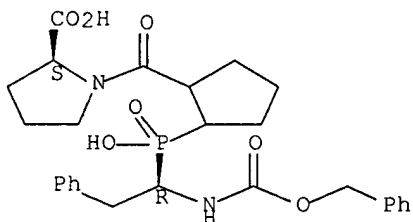
Absolute stereochemistry.



RN 564479-81-8 HCAPLUS

CN L-Proline, 1-[[2-[hydroxy[(1R)-2-phenyl-1-[[[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

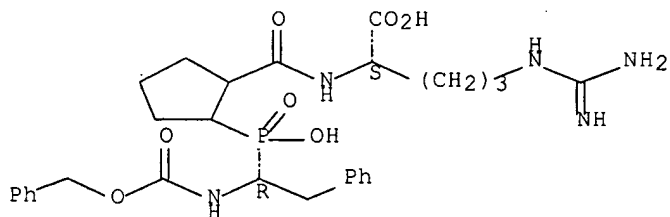
Absolute stereochemistry.



RN 564479-82-9 HCAPLUS

CN L-Arginine, N2-[[2-[hydroxy[(1R)-2-phenyl-1-[[[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

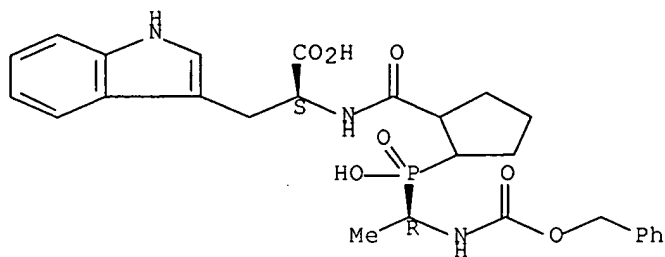
Absolute stereochemistry.



RN 564479-83-0 HCAPLUS

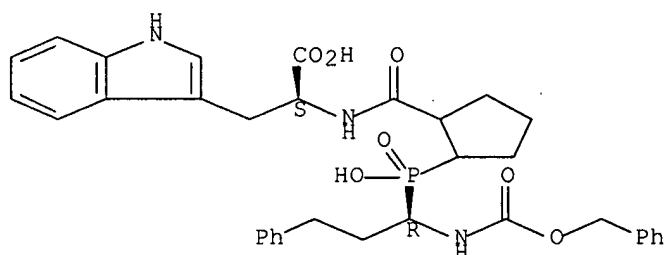
CN L-Tryptophan, N-[[2-[hydroxy[(1R)-1-[[[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 564479-84-1 HCAPLUS  
 CN L-Tryptophan, N-[[2-[hydroxy[(1R)-3-phenyl-1-[[[(phenylmethoxy)carbonyl]amino]propyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

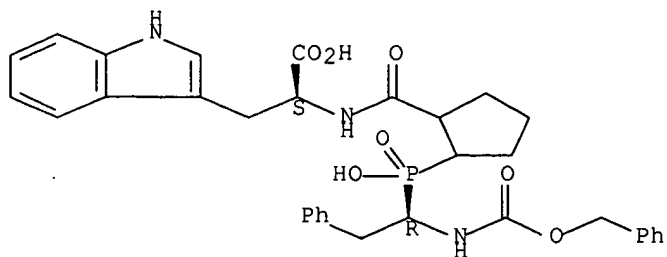
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:547435 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:346065  
 TITLE: Roles of the two active sites of somatic angiotensin-converting enzyme in cleavage of angiotensin I and bradykinin  
 AUTHOR(S): Georgiadis, Dimitris; Beau, Fabrice; Czarny, Bertrand; Cotton, Joel; Yiotakis, Athanasios; Dive, Vincent  
 CORPORATE SOURCE: Department of Chemistry, Laboratory of Organic Chemistry, University of Athens, Athens, Greece  
 SOURCE: Circulation Research (2003), 93(2), 148-154  
 CODEN: CIRUAL; ISSN: 0009-7330  
 PUBLISHER: Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 564479-79-4, RXPA 380  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)  
 (roles of two active sites of somatic angiotensin-converting enzyme in cleavage of angiotensin I and bradykinin as evaluated in mice in relation to insights from selective inhibitors)  
 RN 564479-79-4 HCAPLUS  
 CN L-Tryptophan, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

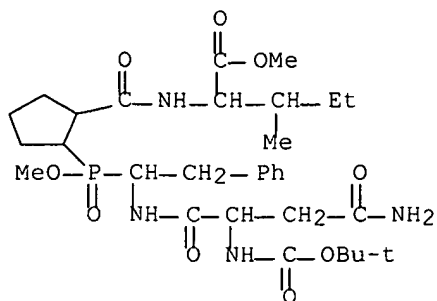


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1990:612686 HCAPLUS Full-text  
 DOCUMENT NUMBER: 113:212686  
 TITLE: Peptide analogs as human immunodeficiency virus (HIV) protease inhibitors  
 INVENTOR(S): Hanko, Rudolf H.; Scangos, George A.; Yoo-Warren, Heeja; Ramabhadran, Triprayar V.; Paessens, Arnold; Henning, Rolf; Tamburini, Paul Perry; Hoppe, Dieter; Hansen, Jutta; Rabe, Klaus  
 PATENT ASSIGNEE(S): Molecular Therapeutics, Inc., USA  
 SOURCE: Eur. Pat. Appl., 73 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

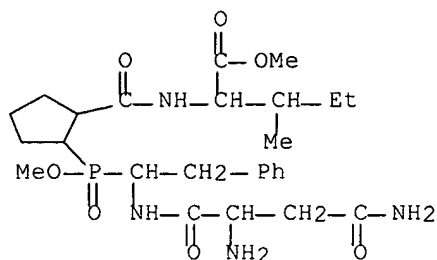
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| EP 361341   | A2   | 19900404 | EP 1989-117616  | 19890923   |
| EP 361341   | A3   | 19910703 |                 |            |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |            |
| FI 8904541  | A    | 19900329 | FI 1989-4541    | 19890926   |
| AU 8942308  | A1   | 19900816 | AU 1989-42308   | 19890926   |
| AU 633017   | B2   | 19930121 |                 |            |
| DK 8904760  | A    | 19900329 | DK 1989-4760    | 19890927   |
| NO 8903834  | A    | 19900329 | NO 1989-3834    | 19890927   |
| ZA 8907338  | A    | 19900725 | ZA 1989-7338    | 19890927   |
| JP 02191243   | A2   | 19900727 | JP 1989-253683  | 19890928   |
| PRIORITY APPLN. INFO.:                                |      |          | US 1988-250472  | A 19880928 |
|   |      |          | US 1989-386194  | A 19890801 |

OTHER SOURCE(S): MARPAT 113:212686  
 IT 130371-94-7P 130371-96-9P 130371-98-1P  
 130371-99-2P 130372-01-9P 130372-02-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of, as HIV protease inhibitor)  
 RN 130371-94-7 HCAPLUS  
 CN 2-Oxa-5,8-diaza-3-phosphanonan-9-oic acid, 7-(2-amino-2-oxoethyl)-3-[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]-6-oxo-4-(phenylmethyl)-, 1,1-dimethylethyl ester, 3-oxide (9CI) (CA INDEX NAME)



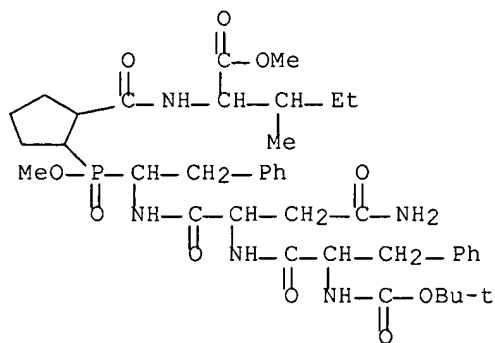
RN 130371-96-9 HCAPLUS

CN L-Isoleucine, N-[[2-[[1-[(2,4-diamino-1,4-dioxobutyl)amino]-2-phenylethyl]methoxyphosphinyl]cyclopentyl]carbonyl]-, methyl ester (9CI)  
(CA INDEX NAME)



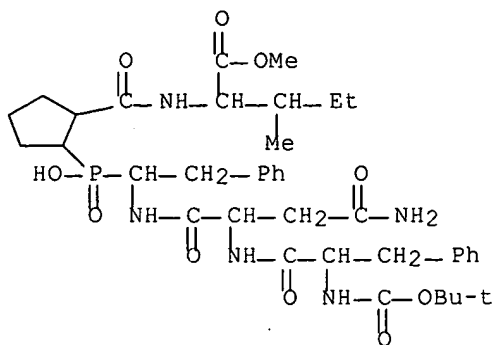
RN 130371-98-1 HCAPLUS

CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N1-[1-[methoxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



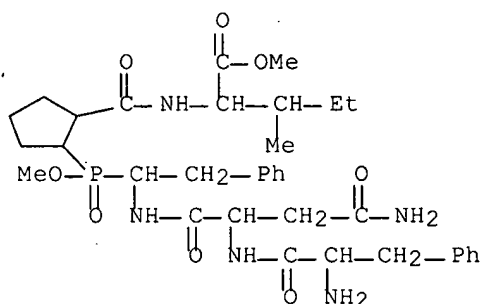
RN 130371-99-2 HCAPLUS

CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N1-[1-[hydroxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



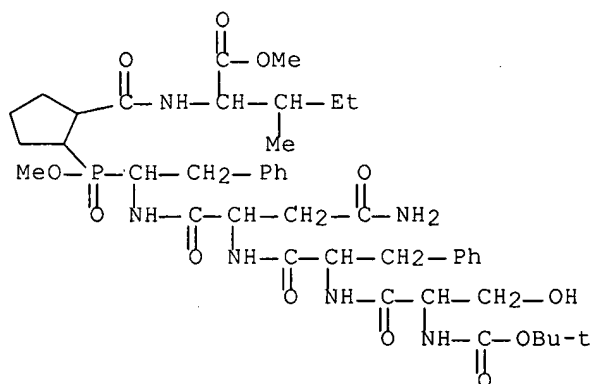
RN 130372-01-9 HCAPLUS

CN L-Aspartamide, L-phenylalanyl-N1-[1-[methoxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI)  
(CA INDEX NAME)



RN 130372-02-0 HCAPLUS

CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-seryl-L-phenylalanyl-N1-[1-[methoxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



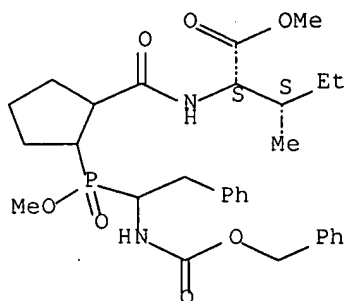
IT 130372-29-1P 130372-32-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as HIV protease inhibitor (intermediate))

RN 130372-29-1 HCAPLUS

CN L-Isoleucine, N-[[2-[methoxy[2-phenyl-1-[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

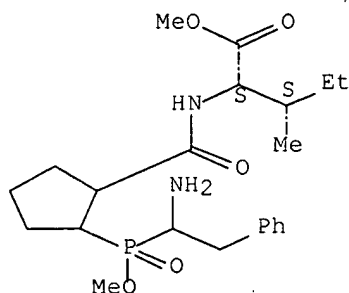
\*Absolute stereochemistry.



RN 130372-32-6 HCAPLUS

CN L-Isoleucine, N-[[2-[(1-amino-2-phenylethyl)methoxyphosphinyl]cyclopentyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 6 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2005:82057 USPATFULL Full-text

TITLE: Phosphinic pseudo-peptide derivatives for the selective inhibition of the active c-terminal site of angiotensin converting enzyme (I) (ace)

INVENTOR(S): Cotton, Joel, Orsay, FRANCE  
Georgiadis, Dimitri, Athens, GREECE  
Dive, Vincent, Palaiseau, FRANCE

|                     | NUMBER         | KIND | DATE          |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005070505  | A1   | 20050331      |
| APPLICATION INFO.:  | US 2004-500891 | A1   | 20040707 (10) |
|                     | WO 2003-FR129  |      | 20030116      |

|                       | NUMBER   | DATE     |
|-----------------------|--|----------|
| PRIORITY INFORMATION: | FR 2002-599  | 20020118 |
| DOCUMENT TYPE:        | Utility  |          |
| FILE SEGMENT:         | APPLICATION  |          |
| LEGAL REPRESENTATIVE: | OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940 DUKE STREET, ALEXANDRIA, VA, 22314 |          |
| NUMBER OF CLAIMS:     | 24   |          |
| EXEMPLARY CLAIM:      | 1  |          |
| NUMBER OF DRAWINGS:   | 3 Drawing Page(s)  |          |
| LINE COUNT:           | 948  |          |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 564479-79-4P 564479-80-7P 564479-81-8P

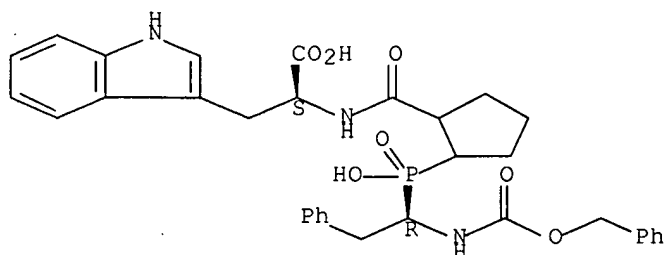
564479-82-9P 564479-83-0P 564479-84-1P

(preparation of phosphinic pseudo-peptide derivs. as inhibitors of the C-terminal active site of angiotensin-converting enzyme (ACE))

RN 564479-79-4 USPTFULL

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

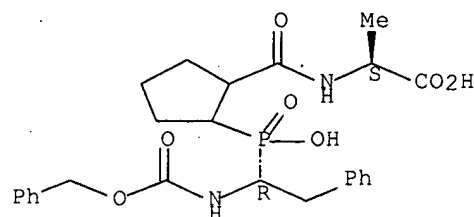
Absolute stereochemistry.



RN 564479-80-7 USPTFULL

CN L-Alanine, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

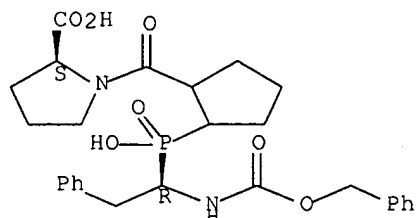
Absolute stereochemistry.



RN 564479-81-8 USPTFULL

CN L-Proline, 1-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

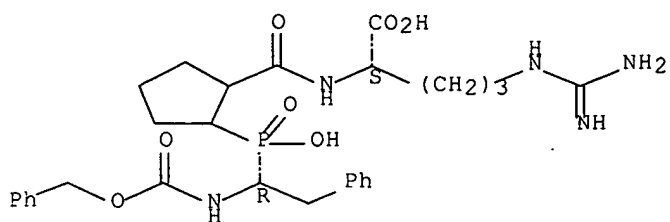


RN 564479-82-9 USPTFULL

CN L-Arginine, N2-[[2-[hydroxy[(1R)-2-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

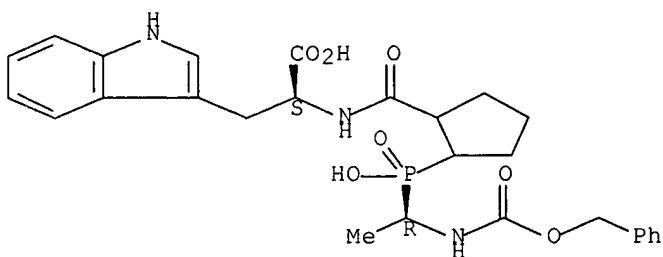




RN 564479-83-0 USPATFULL

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-1-[[ (phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

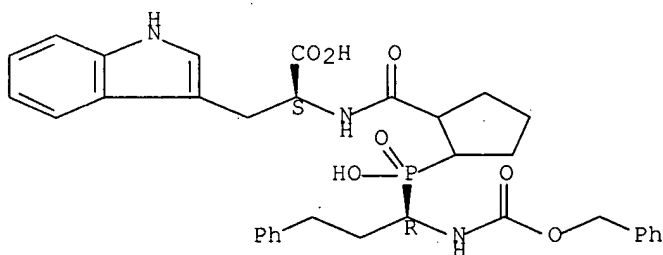
Absolute stereochemistry.



RN 564479-84-1 USPATFULL

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-3-phenyl-1-[[ (phenylmethoxy)carbonyl]amino]propyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d 113 1-9 ibib hitstr

L13 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:547435 HCAPLUS

DOCUMENT NUMBER: 139:346065

TITLE: Roles of the two active sites of somatic  
angiotensin-converting enzyme in cleavage of  
angiotensin I and bradykinin

AUTHOR(S): Georgiadis, Dimitris; Beau, Fabrice; Czarny, Bertrand;  
Cotton, Joel; Yiotakis, Athanasios; Dive, Vincent

CORPORATE SOURCE: Department of Chemistry, Laboratory of Organic  
Chemistry, University of Athens, Athens, Greece

SOURCE: Circulation Research (2003), 93(2), 148-154

CODEN: CIRUAL; ISSN: 0009-7330

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 564479-79-4, RXPA 380

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

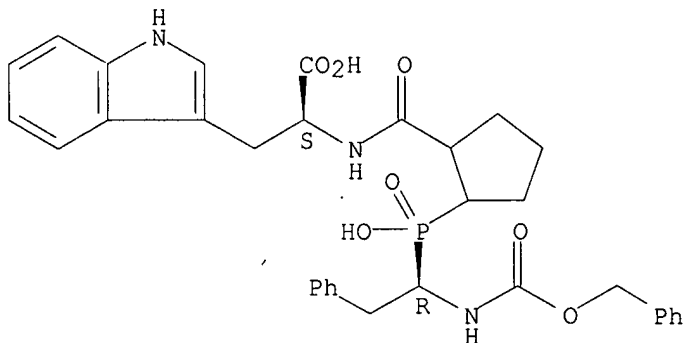
BIOL (Biological study)

(roles of two active sites of somatic angiotensin-converting enzyme in  
cleavage of angiotensin I and bradykinin as evaluated in mice in  
relation to insights from selective inhibitors)

RN 564479-79-4 HCAPLUS

CN L-Tryptophan, N-[[2-[hydroxy[(1R)-2-phenyl-1-[[[(phenylmethoxy)carbonyl]ami  
no]ethyl]phosphinyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:615126 HCAPLUS

DOCUMENT NUMBER: 135:358118

TITLE: Synthesis of phosphinic alanyl-proline surrogates  
Alaψ(PO2R-CH)Pro as potential inhibitors of the  
human cyclophilin hCyp-18

AUTHOR(S): Demange, Luc; Dugave, Christophe

CORPORATE SOURCE: Departement d'Ingenierie et d'Etudes des Proteines  
(DIEP), CEA/Saclay, Gif-sur-Yvette, Fr.

SOURCE: Tetrahedron Letters (2001), 42(36),  
6295-6297

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:358118

IT 372987-88-7P 372987-90-1P

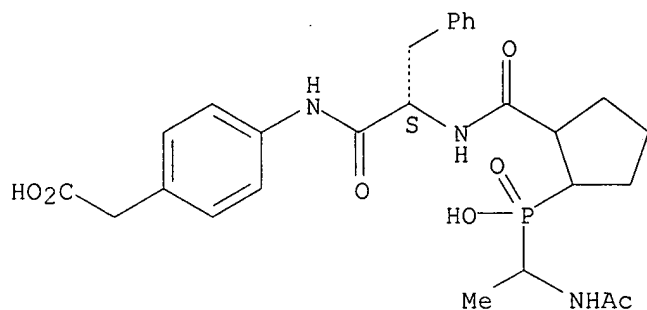
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and biol. activity of phosphinic alanyl-proline surrogates as potential inhibitors of the human cyclophilin hCyp-18)

RN 372987-88-7 HCAPLUS

CN Benzeneacetic acid, 4-[[[(2S)-2-[[[2-[[1-(acetylamino)ethyl]hydroxyphosphinyl]cyclopentyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]- (9CI) (CA INDEX NAME)

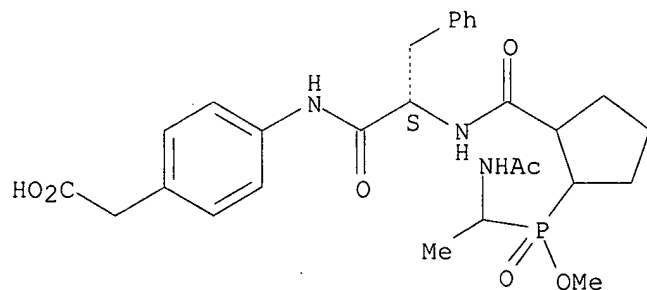
Absolute stereochemistry.



RN 372987-90-1 HCAPLUS

CN Benzeneacetic acid, 4-[[[(2S)-2-[[[2-[[1-(acetylamino)ethyl]methoxyphosphinyl]cyclopentyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 372987-82-1P 372987-84-3P 372987-86-5P

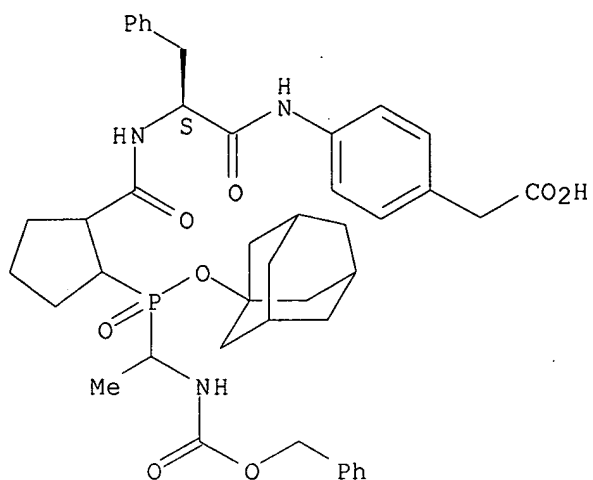
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and biol. activity of phosphinic alanyl-proline surrogates as potential inhibitors of the human cyclophilin hCyp-18)

RN 372987-82-1 HCAPLUS

CN Benzeneacetic acid, 4-[[[(2S)-1-oxo-3-phenyl-2-[[[2-[[1-((phenylmethoxy)carbonyl]amino)ethyl](tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-yl)oxy]phosphinyl]cyclopentyl]carbonyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)

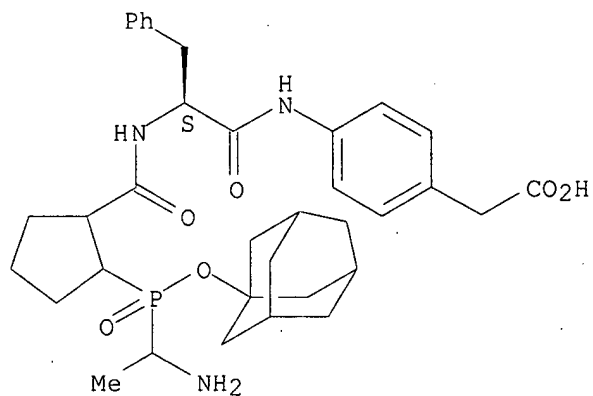
Absolute stereochemistry.



RN 372987-84-3 HCAPLUS

CN Benzeneacetic acid, 4-[[[(2S)-2-[[[2-[(1-aminophenyl)ethyl]tricyclo[3.3.1.1.3,7]dec-1-yl]oxy]phosphoryl]cyclopentyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]- (9CI) (CA INDEX NAME)

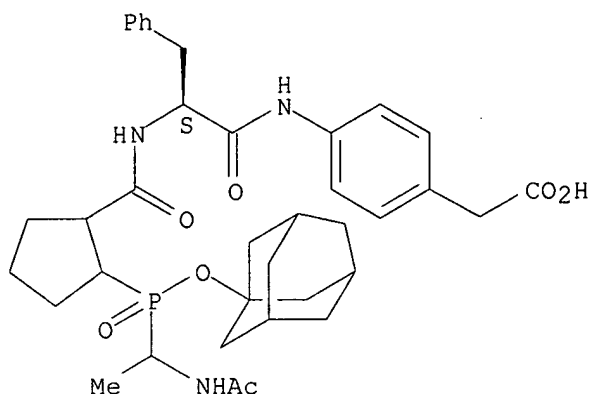
Absolute stereochemistry.



RN 372987-86-5 HCAPLUS

CN Benzeneacetic acid, 4-[[[(2S)-2-[[[2-[(1-(acetamido)ethyl]tricyclo[3.3.1.1.3,7]dec-1-yl]oxy]phosphoryl]cyclopentyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:792014 HCAPLUS

DOCUMENT NUMBER: 128:60440

TITLE: Comparison of different immunoenzymic methods for the determination of the fine specificity and affinity constants of polyclonal antibodies against pseudopeptide haptens

AUTHOR(S): Fournout, S.; Jouin, P.; Pau, B.; Hanin, V.

CORPORATE SOURCE: Immunoanalyse et Innovation en Biologie Clinique, CNRS UMR 9921, Faculte de Pharmacie, Montpellier, Fr.

SOURCE: Immunological Investigations (1997), 26(5-7), 549-559

CODEN: IMINEJ; ISSN: 0882-0139.

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 189227-54-1

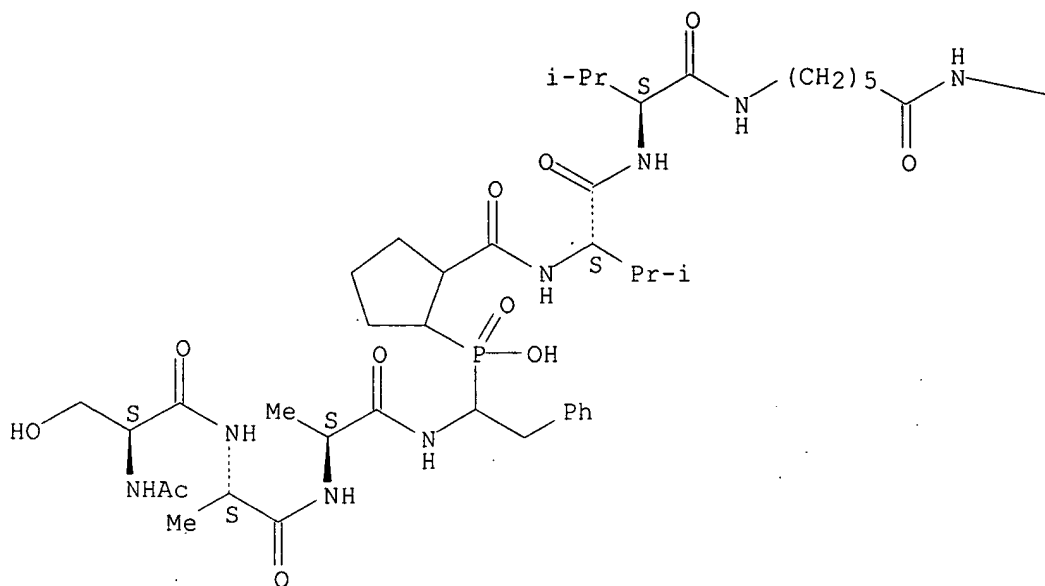
RL: BSU (Biological study, unclassified); BIOL (Biological study) (immunoenzymic methods comparison for determination of fine specificity and affinity consts. of polyclonal antibodies against pseudopeptide haptens)

RN 189227-54-1 HCAPLUS

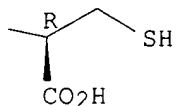
CN L-Cysteine, N-acetyl-L-seryl-L-alanyl-L-alanyl-2-[(1-amino-2-phenylethyl)hydroxyphosphinyl]cyclopentanecarbonyl-L-valyl-L-valyl-6-aminohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:215813 HCAPLUS

DOCUMENT NUMBER: 126:303001

TITLE: Development and Standardization of an Immuno-Quantified Solid Phase Assay for HIV-1 Aspartyl Protease Activity and Its Application to the Evaluation of Inhibitors

AUTHOR(S): Fournout, S.; Roquet, F.; Salhi, S. L.; Seyer, R.; Valverde, V.; Masson, J. M.; Jouin, P.; Pau, B.; Nicolas, M.; Hanin, V.

CORPORATE SOURCE: Laboratoire d'Immunoanalyse et Innovation en Biologie Clinique, Faculte de Pharmacie, Montpellier, 34060, Fr.

SOURCE: Analytical Chemistry (1997), 69(9), 1746-1752

CODEN: ANCHAM; ISSN: 0003-2700

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 189227-54-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

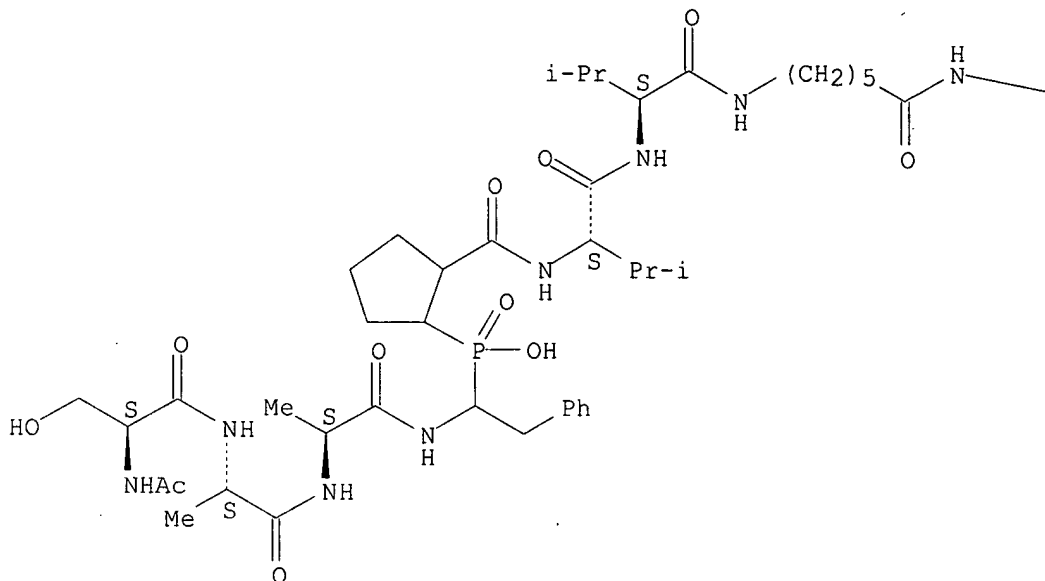
study, unclassified); PRP (Properties); BIOL (Biological study)  
(development and standardization of an immuno-quantified solid phase  
assay for HIV-1 aspartyl protease activity and its application to the  
evaluation of inhibitors)

RN 189227-54-1 HCAPLUS

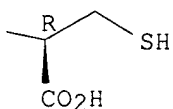
CN L-Cysteine, N-acetyl-L-seryl-L-alanyl-L-alanyl-2-[(1-amino-2-phenylethyl)hydroxyphosphinyl]cyclopentanecarbonyl-L-valyl-L-valyl-6-aminohexanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:76343 HCAPLUS

DOCUMENT NUMBER: 116:76343

TITLE: Method for treating fungal infection with an aspartic acid proteinase inhibitor

INVENTOR(S): Dreyer, Geoffrey Bainbridge; Frey, Carrie Lynn; Koltin, Yigal

PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE           |
|--|------|----------|-----------------|----------------|
| WO 9115121   | A1   | 19911017 | WO 1991-US2145  | 19910328 <--   |
| W: AU, CA, JP, KR, US                                  |      |          |                 |                |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE |      |          |                 |                |
| AU 9176739   | A1   | 19911030 | AU 1991-76739   | 19910328 <--   |
| PRIORITY APPLN. INFO.:                                 |      |          | US 1990-502149  | A 19900330 <-- |
|  |      |          | WO 1991-US2145  | A 19910328 <-- |

OTHER SOURCE(S): MARPAT 116:76343

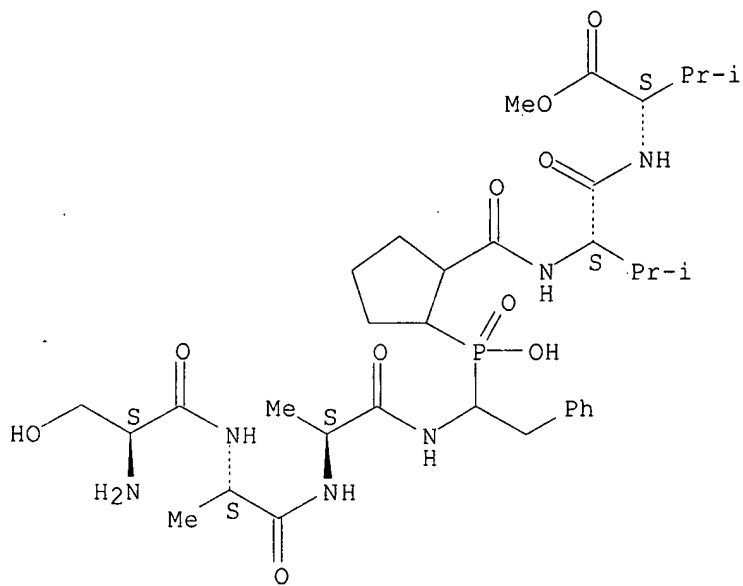
IT 126333-35-5

RL: BIOL (Biological study)  
 (antifungal agent)

RN 126333-35-5 HCAPLUS

CN L-Valine, N-[N-[[2-[hydroxy[2-phenyl-1-[[N-(N-L-seryl-L-alanyl)-L-alanyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:240604 HCAPLUS

DOCUMENT NUMBER: 114:240604

TITLE: Preparation of retroviral protease binding peptides

INVENTOR(S): Dreyer, Geoffrey Bainbridge; Huffman, William Francis; Meek, Thomas Downing; Metcalf, Brian Walter; Moore, Michael Lee

PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA

SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2



## PATENT INFORMATION:

| PATENT NO.                    | KIND | DATE     | APPLICATION NO. | DATE            |
|-------------------------------|------|----------|-----------------|-----------------|
| WO 9000399                    | A1   | 19900125 | WO 1989-US2972  | 19890707 <--    |
| W: AU, DK, FI, HU, JP, KR, NO |      |          |                 |                 |
| AU 8939644                    | A1   | 19900205 | AU 1989-39644   | 19890707 <--    |
| ZA 8905174                    | A    | 19900328 | ZA 1989-5174    | 19890707 <--    |
| JP 03505875                   | T2   | 19911219 | JP 1989-507665  | 19890707 <--    |
| HU 58764                      | A2   | 19920330 | HU 1989-4124    | 19890707 <--    |
| DK 9100026                    | A    | 19910306 | DK 1991-26      | 19910107 <--    |
| NO 9100053                    | A    | 19910307 | NO 1991-53      | 19910107 <--    |
| NO 9200318                    | A    | 19910307 | NO 1992-318     | 19920123 <--    |
| NO 9200319                    | A    | 19910307 | NO 1992-319     | 19920123 <--    |
| PRIORITY APPLN. INFO.:        |      |          | US 1988-216178  | A 19880708 <--  |
|                               |      |          | US 1989-321937  | A 19890310 <--  |
|                               |      |          | US 1989-374326  | A 19890629 <--  |
|                               |      |          | WO 1989-US2972  | A 19890707 <--  |
|                               |      |          | NO 1991-53      | A1 19910107 <-- |

OTHER SOURCE(S): MARPAT 114:240604

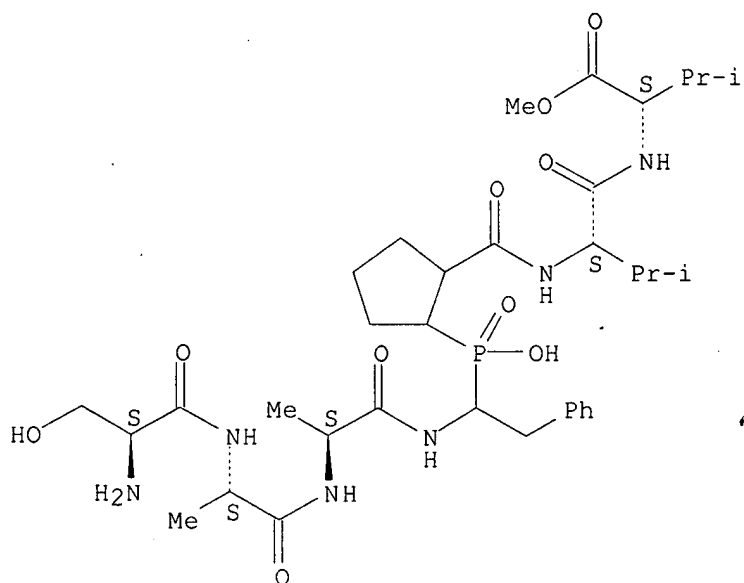
IT 126333-35-5P 128210-19-5P 128234-78-6P  
128299-07-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as antiviral agent)

RN 126333-35-5 HCAPLUS

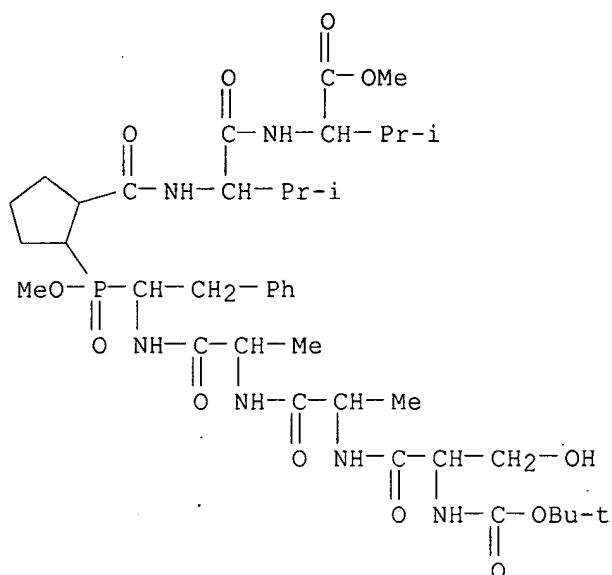
CN L-Valine, N-[N-[[2-[hydroxy[2-phenyl-1-[[N-(N-L-seryl-L-alanyl)-L-alanyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

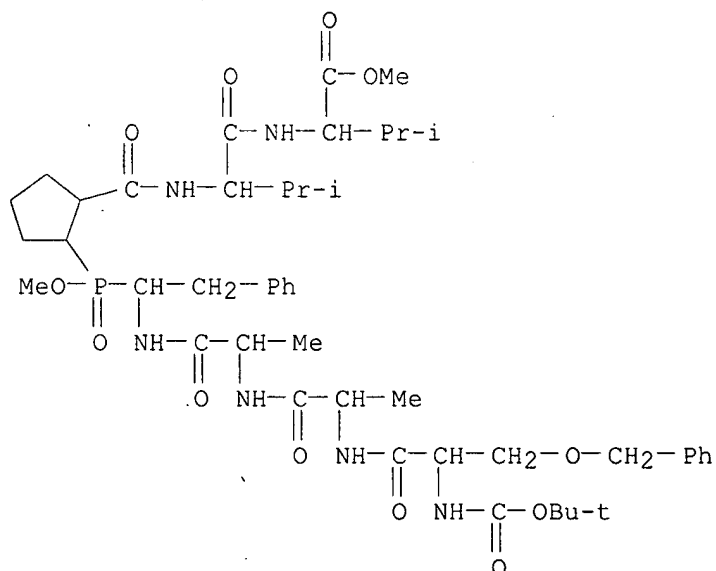


RN 128210-19-5 HCAPLUS

CN L-Valine, N-[N-[[2-[1-[[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl]-L-alanyl]-L-alanyl]amino]-2-phenylethyl]methoxyphosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)



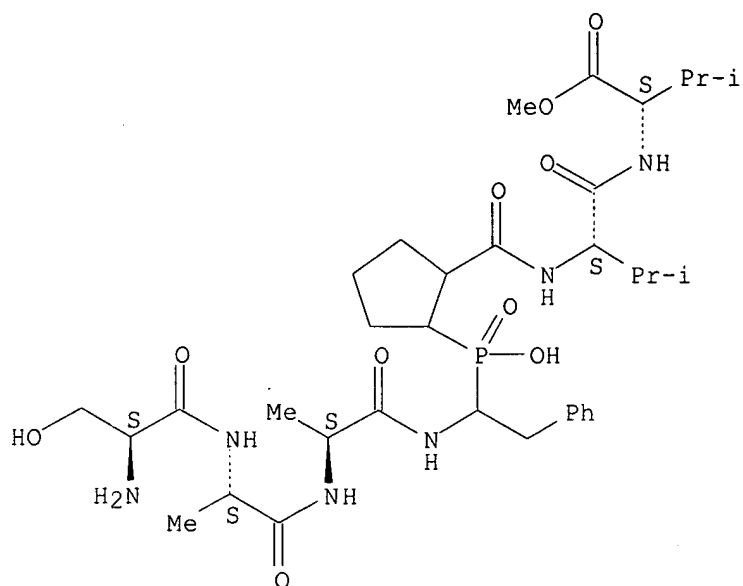
RN 128234-78-6 HCAPLUS  
 CN L-Valine, N-[N-[[2-[[1-[[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-alanyl]amino]-2-phenylethyl]methoxyphosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 128299-07-0 HCAPLUS  
 CN L-Valine, N-[N-[[2-[hydroxy[2-phenyl-1-[[N-(N-L-seryl-L-alanyl)-L-alanyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

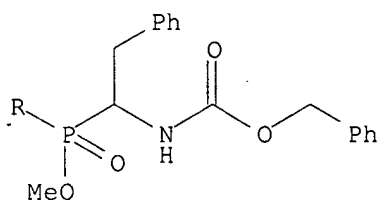
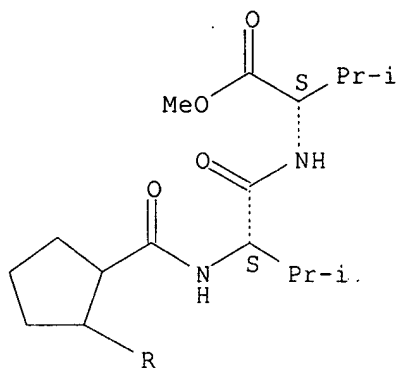


PAGE 2-A

● HBr

IT 128211-25-6P 128211-26-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediate for antivirals)  
 RN 128211-25-6 HCAPLUS  
 CN L-Valine, N-[N-[[2-[methoxy[2-phenyl-1-[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

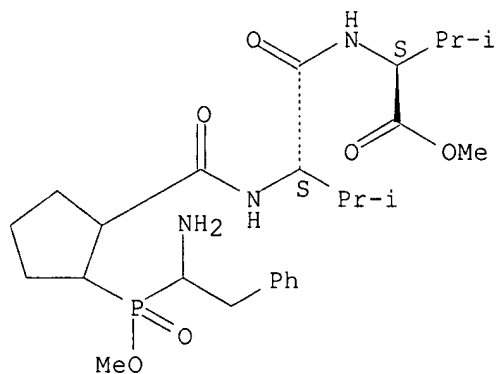
Absolute stereochemistry.



RN 128211-26-7 HCAPLUS

CN L-Valine, N-[N-[[2-[(1-amino-2-phenylethyl)methoxyphosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:612686 HCAPLUS

DOCUMENT NUMBER: 113:212686

TITLE: Peptide analogs as human immunodeficiency virus (HIV) protease inhibitors

INVENTOR(S): Hanko, Rudolf H.; Scangos, George A.; Yoo-Warren, Heeja; Ramabhadran, Triprayar V.; Paessens, Arnold; Henning, Rolf; Tamburini, Paul Perry; Hoppe, Dieter; Hansen, Jutta; Rabe, Klaus

PATENT ASSIGNEE(S): Molecular Therapeutics, Inc., USA

SOURCE: Eur. Pat. Appl., 73 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE           |
|---|------|----------|-----------------|----------------|
| EP 361341   | A2   | 19900404 | EP 1989-117616  | 19890923 <--   |
| EP 361341   | A3   | 19910703 |                 |                |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |                |
| FI 8904541  | A    | 19900329 | FI 1989-4541    | 19890926 <--   |
| AU 8942308  | A1   | 19900816 | AU 1989-42308   | 19890926 <--   |
| AU 633017   | B2   | 19930121 |                 |                |
| DK 8904760  | A    | 19900329 | DK 1989-4760    | 19890927 <--   |
| NO 8903834  | A    | 19900329 | NO 1989-3834    | 19890927 <--   |
| ZA 8907338  | A    | 19900725 | ZA 1989-7338    | 19890927 <--   |
| JP 02191243   | A2   | 19900727 | JP 1989-253683  | 19890928 <--   |
| PRIORITY APPLN. INFO.:                                |      |          | US 1988-250472  | A 19880928 <-- |
|   |      |          | US 1989-386194  | A 19890801 <-- |

OTHER SOURCE(S): MARPAT 113:212686

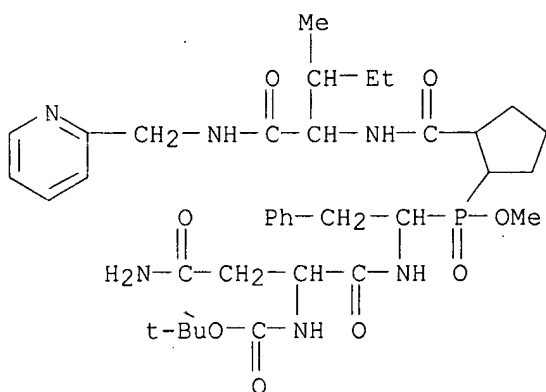
IT 130371-93-6P 130371-94-7P 130371-95-8P  
 130371-96-9P 130371-97-0P 130371-98-1P  
 130371-99-2P 130372-00-8P 130372-01-9P  
 130372-02-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as HIV protease inhibitor)

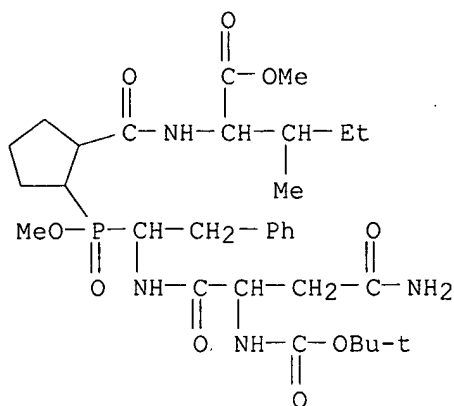
RN 130371-93-6 HCAPLUS

CN Carbamic acid, [3-amino-1-[[[1-[methoxy[2-[[[2-methyl-1-[[[2-pyridinylmethyl)amino]carbonyl]butyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]amino]carbonyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



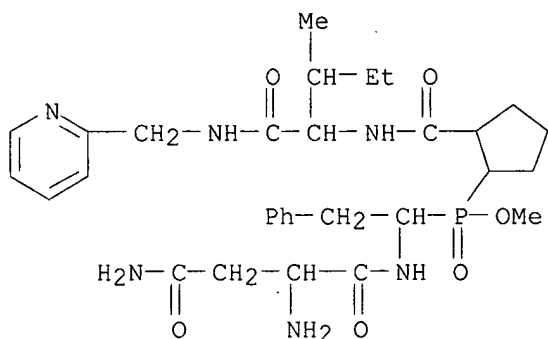
RN 130371-94-7 HCAPLUS

CN 2-Oxa-5,8-diaza-3-phosphanonan-9-oic acid, 7-(2-amino-2-oxoethyl)-3-[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]-6-oxo-4-(phenylmethyl)-, 1,1-dimethylethyl ester, 3-oxide (9CI) (CA INDEX NAME)



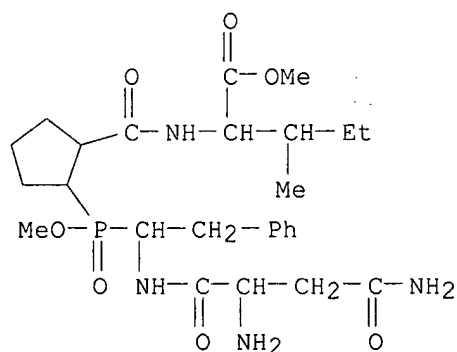
RN 130371-95-8 HCAPLUS

CN Phosphinic acid, [1-[(2,4-diamino-1,4-dioxobutyl)amino]-2-phenylethyl][2-[[[2-methyl-1-[[[(2-pyridinylmethyl)amino]carbonyl]butyl]amino]carbonyl]cyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 130371-96-9 HCAPLUS

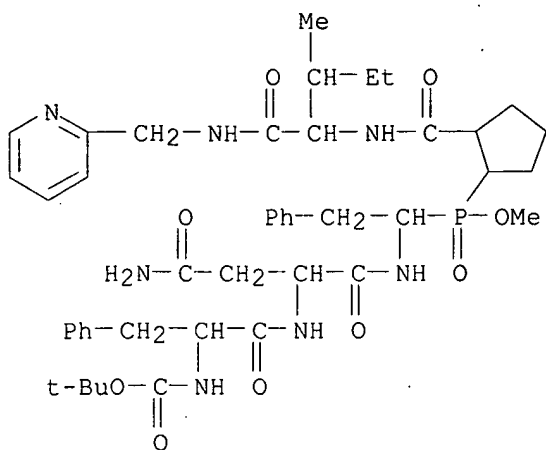
CN L-Isoleucine, N-[[2-[[1-[(2,4-diamino-1,4-dioxobutyl)amino]-2-phenylethyl]methoxyphosphinyl]cyclopentyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 130371-97-0 HCAPLUS

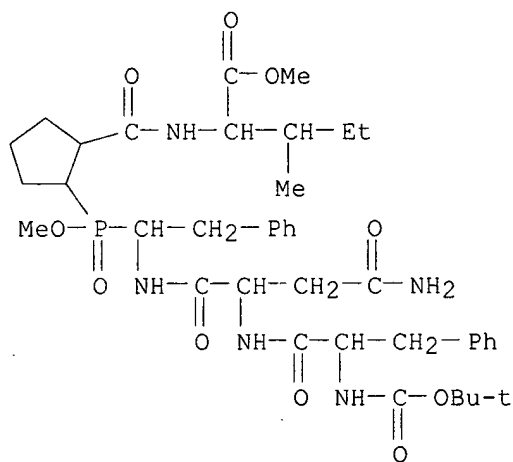
CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N1-[1-[methoxy[2-[[[2-methyl-1-[[[(2-pyridinylmethyl)amino]carbonyl]butyl]amino]c

arbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



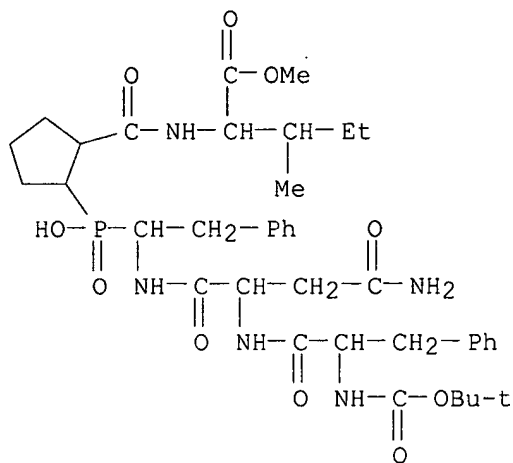
RN 130371-98-1 HCAPLUS

CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N1-[1-[methoxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



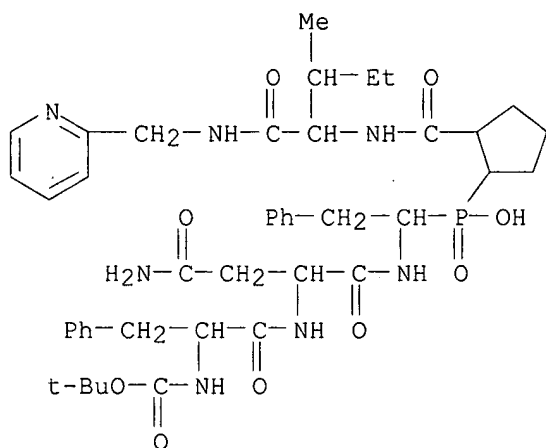
RN 130371-99-2 HCAPLUS

CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N1-[1-[hydroxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



RN 130372-00-8 HCAPLUS

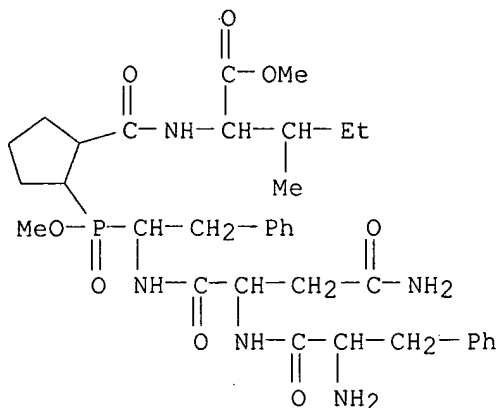
CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N1-[1-[hydroxy[2-[[[2-methyl-1-[(2-pyridinylmethyl)amino]carbonyl]butyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



RN 130372-01-9 HCAPLUS

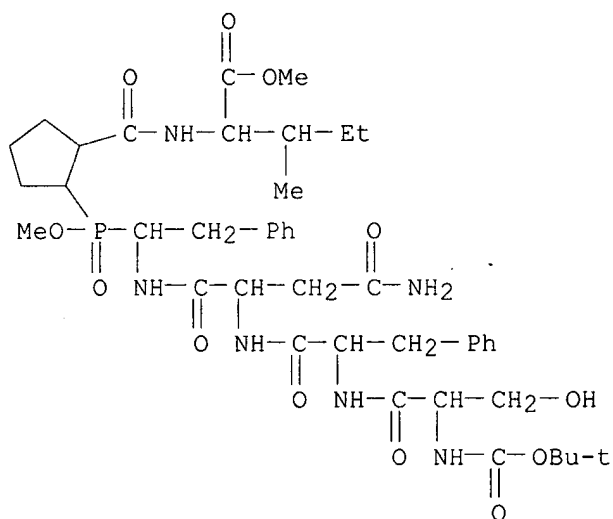
CN L-Aspartamide, L-phenylalanyl-N1-[1-[methoxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)





RN 130372-02-0 HCAPLUS

CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-seryl-L-phenylalanyl-N1-[1-[methoxy[2-[[[1-(methoxycarbonyl)-2-methylbutyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



IT 130372-29-1P 130372-30-4P 130372-31-5P

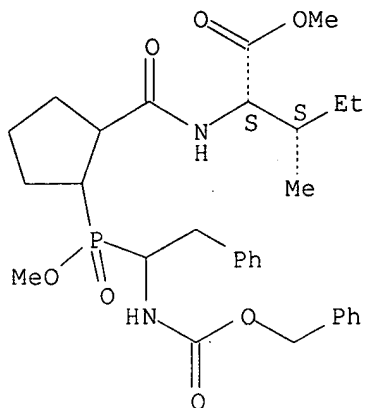
130372-32-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as HIV protease inhibitor (intermediate))

RN 130372-29-1 HCAPLUS

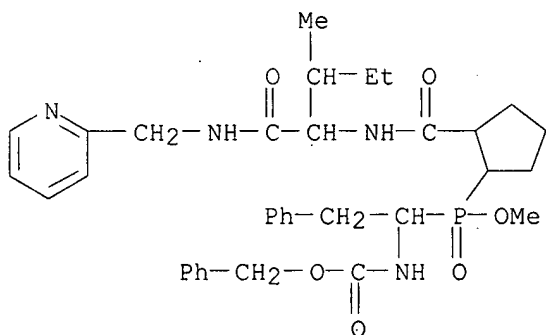
CN L-Isoleucine, N-[[2-[methoxy[2-phenyl-1-[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



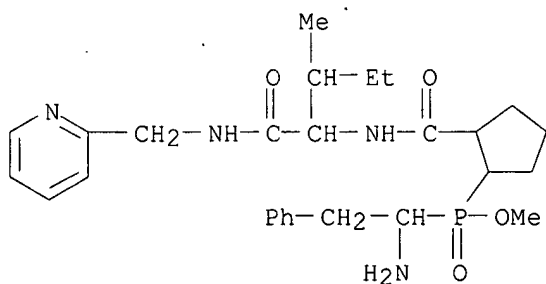
RN 130372-30-4 HCAPLUS

CN Carbamic acid, [1-[methoxy[2-[[[2-methyl-1-[(2-pyridinylmethyl)amino]carbonyl]butyl]amino]carbonyl]cyclopentyl]phosphinyl]-2-phenylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 130372-31-5 HCAPLUS

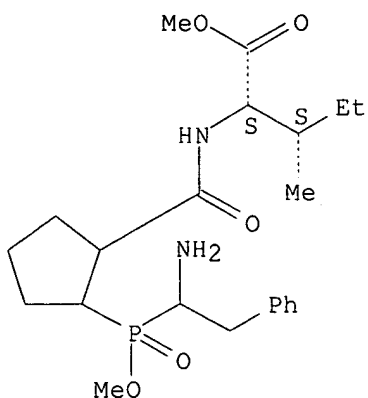
CN Phosphinic acid, (1-amino-2-phenylethyl)[2-[[[2-methyl-1-[(2-pyridinylmethyl)amino]carbonyl]butyl]amino]carbonyl]cyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 130372-32-6 HCAPLUS

CN L-Isoleucine, N-[[2-[(1-amino-2-phenylethyl)methoxyphosphinyl]cyclopentyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:553045 HCAPLUS

DOCUMENT NUMBER: 113:153045

TITLE: Preparation of retroviral protease-inhibiting peptides and pharmaceutical compositions containing them

INVENTOR(S): Dreyer, Geoffrey Bainbridge; Huffman, William Francis; Meek, Thomas Dowing; Metcalf, Brian Walter; Moore, Michael Lee

PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA

SOURCE: Eur. Pat. Appl., 118 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE           |
|---|------|----------|-----------------|----------------|
| EP 352000   | A2   | 19900124 | EP 1989-306995  | 19890710 <--   |
| EP 352000   | A3   | 19910717 |                 |                |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |      |          |                 |                |
| ZA 8905174  | A    | 19900328 | ZA 1989-5174    | 19890707 <--   |
| CN 1039596  | A    | 19900214 | CN 1989-104699  | 19890708 <--   |
| PRIORITY APPLN. INFO.:                                |      |          | US 1988-216178  | A 19880708 <-- |
|   |      |          | US 1989-321937  | A 19890310 <-- |

OTHER SOURCE(S): MARPAT 113:153045

IT 128211-25-6P 128211-26-7P 128234-86-6P

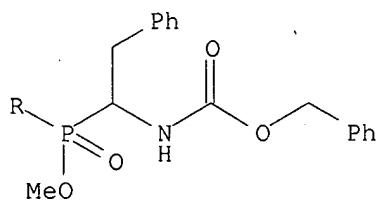
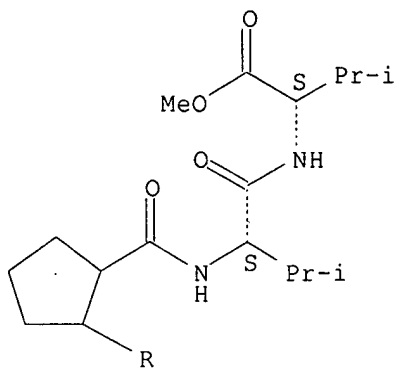
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of protease inhibiting peptides)

RN 128211-25-6 HCAPLUS

CN L-Valine, N-[N-[[2-[methoxy[2-phenyl-1-[(phenylmethoxy)carbonyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

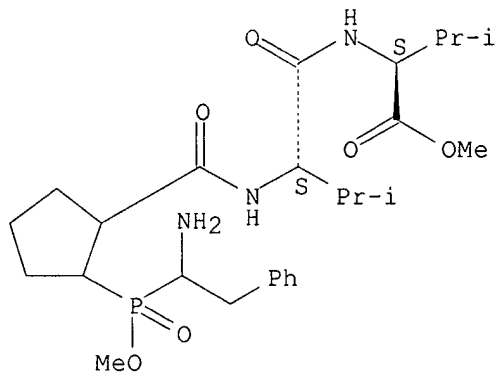
Absolute stereochemistry.



RN 128211-26-7 HCAPLUS

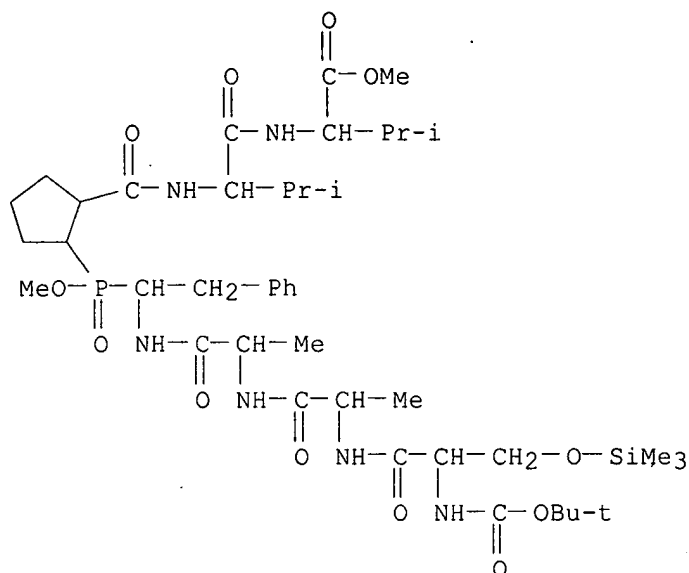
CN L-Valine, N-[N-[[2-[(1-amino-2-phenylethyl)methoxyphosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 128234-86-6 HCAPLUS

CN L-Valine, N-[N-[[2-[[1-[[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(trimethylsilyl)-L-seryl]-L-alanyl]-L-alanyl]amino]-2-phenylethyl]methoxyphosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 126333-35-5P 128210-19-5P

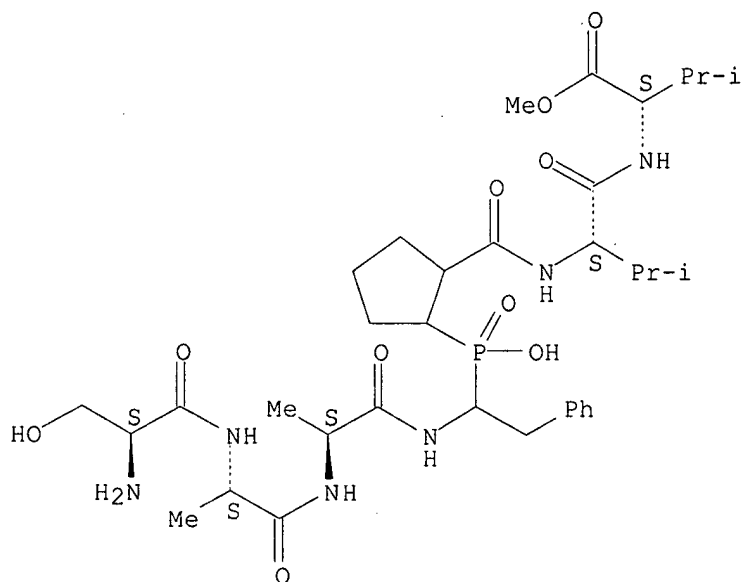
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as retroviral protease inhibitor)

RN 126333-35-5 HCAPLUS

CN L-Valine, N-[N-[[2-[hydroxy[2-phenyl-1-[[N-(N-L-seryl-L-alanyl)-L-alanyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

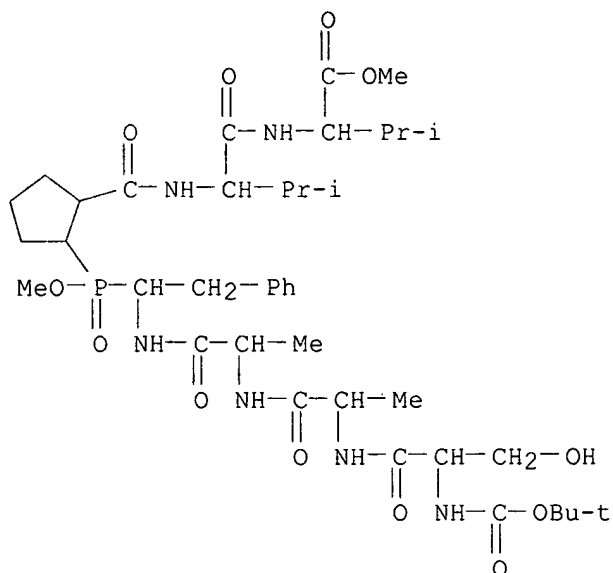
Absolute stereochemistry.



RN 128210-19-5 HCAPLUS

CN L-Valine, N-[N-[[2-[[1-[[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-seryl]-L-alanyl]-L-alanyl]amino]-2-phenylethyl]methoxyphosphinyl]cyclopentyl]carbon

yl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)

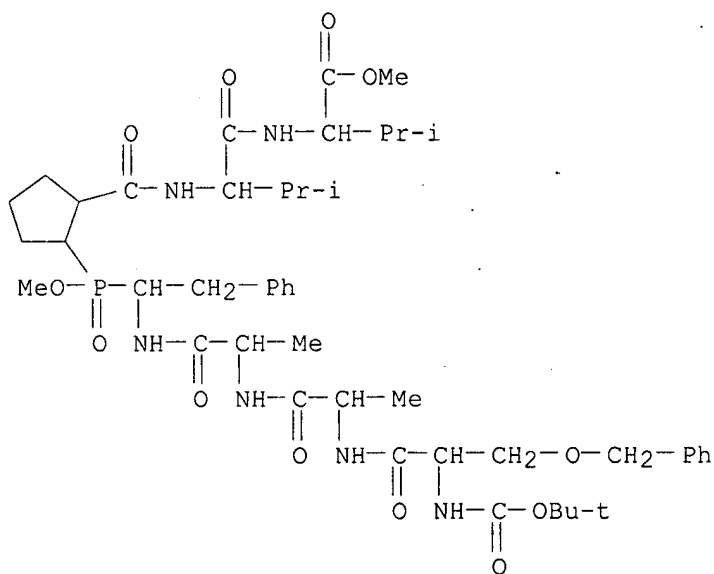


IT 128234-78-6P 128299-07-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as viral protease inhibitor)

RN 128234-78-6 HCAPLUS

CN L-Valine, N-[N-[[2-[[1-[[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-alanyl]-L-alanyl]amino]-2-phenylethyl]methoxyphosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)



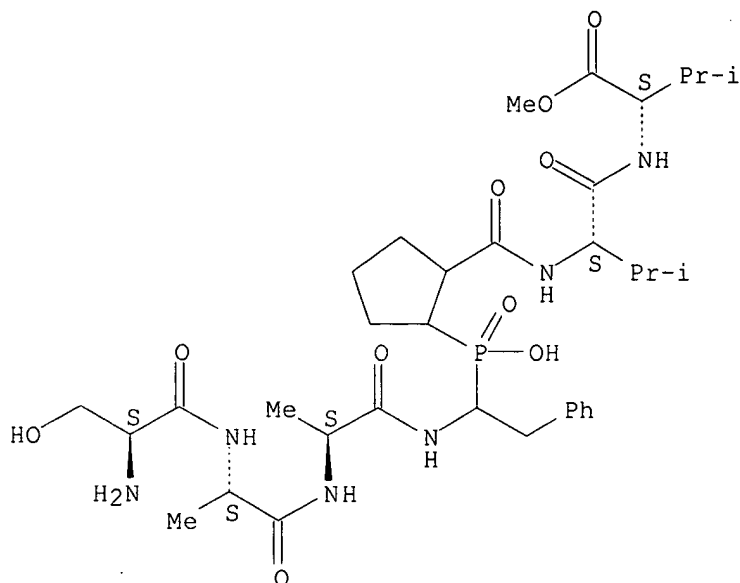
RN 128299-07-0 HCAPLUS

CN L-Valine, N-[N-[[2-[[hydroxy[2-phenyl-1-[[N-(N-L-seryl-L-alanyl)-L-

alanyl]amino]ethyl]phosphinyl]cyclopentyl]carbonyl]-L-valyl]-, methyl ester, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● HBr

L13 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1990:400142 HCAPLUS  
 DOCUMENT NUMBER: 113:142  
 TITLE: Inhibition of human immunodeficiency virus 1 protease  
 in vitro: rational design of substrate analog  
 inhibitors  
 AUTHOR(S): Dreyer, Geoffrey B.; Metcalf, Brian W.; Tomaszek,  
 Thaddeus A., Jr.; Carr, Thomas J.; Chandler, Arthur  
 C., III; Hyland, Lawrence; Fakhoury, Stephen A.;  
 Magaard, Victoria W.; Moore, Michael L.; et al.  
 CORPORATE SOURCE: Dep. Med. Chem., Smith Kline and French Lab., King of  
 Prussia, PA, 19406-0939, USA  
 SOURCE: Proceedings of the National Academy of Sciences of the  
 United States of America (1989), 86(24),  
 9752-6  
 CODEN: PNASA6; ISSN: 0027-8424  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 126333-35-5DP, isomers  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and HIV-1 protease inhibiting activity of)  
 RN 126333-35-5 HCAPLUS  
 CN L-Valine, N-{N-[[2-[hydroxy[2-phenyl-1-[[N-(N-L-seryl-L-alanyl)-L-

Absolute stereochemistry.

